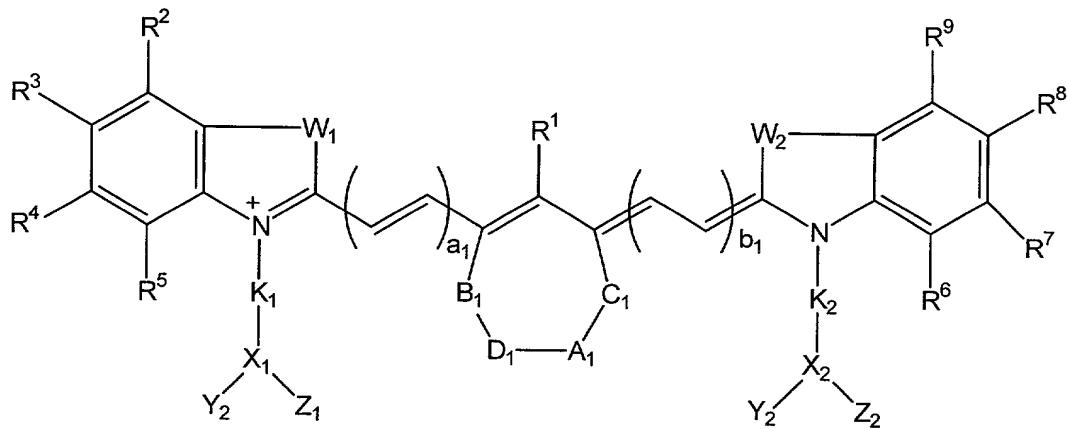


1. A compound having the carbocyanine dye bioconjugate of formula 3



wherein W_1 and W_2 may be the same or different and are selected from the
5 group consisting of $-CR^{10}R^{11}$, $-O-$, $-NR^{12}$, $-S-$, and $-Se$; Y_1 , Y_2 , Z_1 , and Z_2 are independently selected from the group consisting of hydrogen, tumor-specific agent, phototherapy agent, $-CONH-Bm$, $-NHCO-Bm$, $-(CH_2)_a-CONH-Bm$, $-CH_2-(CH_2OCH_2)_b-CH_2-CONH-Bm$, $-(CH_2)_a-NHCO-Bm$, $-CH_2-(CH_2OCH_2)_b-CH_2-NHCO-Bm$, $-(CH_2)_a-N(R^{12})-(CH_2)_b-CONH-Bm$, $-(CH_2)_a-N(R^{12})-(CH_2)_c-NHCO-Bm$, $-(CH_2)_a-10 N(R^{12})-CH_2-(CH_2OCH_2)_b-CH_2-CONH-Bm$, $-(CH_2)_a-N(R^{12})-CH_2-(CH_2OCH_2)_b-CH_2-NHCO-Bm$, $-CH_2-(CH_2OCH_2)_b-CH_2-N(R^{12})-(CH_2)_a-CONH-Bm$, $-CH_2-(CH_2OCH_2)_b-CH_2-N(R^{12})-(CH_2)_a-NHCO-Bm$, $-CH_2-(CH_2OCH_2)_b-CH_2-N(R^{12})-CH_2-(CH_2OCH_2)_d-CONH-Bm$, $-CH_2-(CH_2OCH_2)_b-CH_2-N(R^{12})-CH_2-(CH_2OCH_2)_d-NHCO-Bm$, $-CONH-Dm$, $-NHCO-Dm$, $-(CH_2)_a-CONH-Dm$, $-CH_2-(CH_2OCH_2)_b-CH_2-CONH-Dm$,

- (CH₂)_a-NHCO-Dm, -CH₂-(CH₂OCH₂)_b-CH₂-NHCO-Dm, -(CH₂)_a-N(R¹²)-(CH₂)_b-CONH-Dm, -(CH₂)_a-N(R¹²)-(CH₂)_c-NHCO-Dm, -(CH₂)_a-N(R¹²)-CH₂-(CH₂OCH₂)_b-CH₂-CONH-Dm, -(CH₂)_a-N(R¹²)-CH₂-(CH₂OCH₂)_b-CH₂-NHCO-Dm, -CH₂-(CH₂OCH₂)_b-CH₂-N(R¹²)-(CH₂)_a-CONH-Dm, -CH₂-(CH₂OCH₂)_b-CH₂-N(R¹²)-
- 5 (CH₂)_a-NHCO-Dm, -CH₂-(CH₂OCH₂)_b-CH₂-N(R¹²)-CH₂-(CH₂OCH₂)_d-CONH-Dm, -CH₂-(CH₂OCH₂)_b-CH₂-N(R¹²)-CH₂-(CH₂OCH₂)_d-NHCO-Dm, -(CH₂)_a-N R¹²R¹³, and -CH₂(CH₂OCH₂)_b-CH₂N R¹²R¹³; K₁ and K₂ are independently selected from the group consisting of C₁-C₃₀ alkyl, C₅-C₃₀ aryl, C₁-C₃₀ alkoxy, C₁-C₃₀ polyalkoxyalkyl, C₁-C₃₀ polyhydroxyalkyl, C₅-C₃₀ polyhydroxyaryl, C₁-C₃₀
- 10 aminoalkyl, saccharide, peptide, -CH₂(CH₂OCH₂)_b-CH₂-, -(CH₂)_a-CO-, -(CH₂)_a-CONH-, -CH₂-(CH₂OCH₂)_b-CH₂-CONH-, -(CH₂)_a-NHCO-, -CH₂-(CH₂OCH₂)_b-CH₂-NHCO-, -(CH₂)_a-O-, and -CH₂-(CH₂OCH₂)_b-CO-; X₁ and X₂ are single bonds, or are independently selected from the group consisting of nitrogen, saccharide, -CR¹⁴-, -CR¹⁴R¹⁵, -NR¹⁶R¹⁷; C₅ – C₃₀ aryl; Q is a single bond or is selected from the group consisting of -O-, -S-, -Se-, and -NR¹⁸; A₁ is a single or a double bond; B₁, C₁, and D₁ are independently selected from the group consisting of -O-, -S-, -Se-, -P-, -CR¹⁰R¹¹, -CR¹¹, alkyl, NR¹², and -C=O; A₁, B₁, C₁, and D₁ may together form a 6- to 12-membered carbocyclic ring or a 6- to 12-membered heterocyclic ring optionally containing one or more oxygen, nitrogen, or sulfur atom; a₁ and b₁ independently vary from 0 to 5; R¹ to R¹³, and R¹⁸ are independently selected from the group consisting of hydrogen, C₁-C₁₀ alkyl, C₅-C₂₀ aryl, C₁-C₁₀ alkoxy, C₁-C₁₀ polyalkoxyalkyl, C₁-C₂₀ polyhydroxyalkyl, C₅-C₂₀ polyhydroxyaryl, C₁-C₁₀ aminoalkyl, cyano, nitro, halogen, saccharide, peptide, -CH₂(CH₂OCH₂)_b-CH₂-OH, -(CH₂)_a-CO₂H, -(CH₂)_a-CONH-Bm, -CH₂-(CH₂OCH₂)_b-
- 15 CH₂-CONH-Bm, -(CH₂)_a-NHCO-Bm, -CH₂-(CH₂OCH₂)_b-CH₂-NHCO-Bm, -(CH₂)_a-
- 20
- 25

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- OH and $-\text{CH}_2-(\text{CH}_2\text{OCH}_2)_b\text{CO}_2\text{H}$; R¹⁴ to R¹⁷ are independently selected from the group consisting of hydrogen, C₁-C₁₀ alkyl, C₅-C₂₀ aryl, C₁-C₁₀ alkoxy, C₁-C₁₀ polyalkoxyalkyl, C₁-C₂₀ polyhydroxyalkyl, C₅-C₂₀ polyhydroxyaryl, C₁-C₁₀ aminoalkyl, saccharide, peptide, $-\text{CH}_2(\text{CH}_2\text{OCH}_2)_b\text{CH}_2-$, $-(\text{CH}_2)_a\text{CO}-$, $-(\text{CH}_2)_a\text{CONH}-$, $-\text{CH}_2-(\text{CH}_2\text{OCH}_2)_b\text{CH}_2\text{CONH}-$, $-(\text{CH}_2)_a\text{NHCO}-$, $-\text{CH}_2-(\text{CH}_2\text{OCH}_2)_b\text{CH}_2\text{NHCO}-$, $-(\text{CH}_2)_a\text{O}-$, and $-\text{CH}_2-(\text{CH}_2\text{OCH}_2)_b\text{CO}-$; Bm and Dm are independently selected from the group consisting of bioactive peptide, protein, cell, antibody, antibody fragment, saccharide, glycopeptide, peptidomimetic, drug, drug mimic, hormone, metal chelating agent, radioactive or nonradioactive metal complex, echogenic agent, photoactive molecule, and phototherapy agent; a and c independently vary from 1 to 20; b and d independently vary from 1 to 100.

2. The compound of claim 1 wherein W₁ and W₂ are independently selected from the group consisting of $-\text{C}(\text{CH}_3)_2$, $-\text{C}((\text{CH}_2)_a\text{OH})\text{CH}_3$, $-\text{C}((\text{CH}_2)_a\text{OH})_2$, $-\text{C}((\text{CH}_2)_a\text{CO}_2\text{H})\text{CH}_3$, $-\text{C}((\text{CH}_2)_a\text{CO}_2\text{H})_2$, $-\text{C}((\text{CH}_2)_a\text{NH}_2)\text{CH}_3$, $-\text{C}((\text{CH}_2)_a\text{NH}_2)_2$, $-\text{C}((\text{CH}_2)_a\text{NR}^{12}\text{R}^{13})_2$, $-\text{NR}^{12}$, and $-\text{S}-$; Y₁ and Y₂ are selected from the group consisting of hydrogen, tumor-specific agent, $-\text{CONH-Bm}$, $-\text{NHCO-Bm}$, $-(\text{CH}_2)_a\text{CONH-Bm}$, $-\text{CH}_2-(\text{CH}_2\text{OCH}_2)_b\text{CH}_2\text{CONH-Bm}$, $-(\text{CH}_2)_a\text{NHCO-Bm}$, $-\text{CH}_2-(\text{CH}_2\text{OCH}_2)_b\text{CH}_2\text{NHCO-Bm}$, $-(\text{CH}_2)_a\text{NR}^{12}\text{R}^{13}$, and $-\text{CH}_2(\text{CH}_2\text{OCH}_2)_b\text{CH}_2\text{NR}^{12}\text{R}^{13}$; Z₁ and Z₂ are independently selected from the group consisting of hydrogen, phototherapy agent, $-\text{CONH-Dm}$, $-\text{NHCO-Dm}$, $-(\text{CH}_2)_a\text{CONH-Dm}$, $-\text{CH}_2-(\text{CH}_2\text{OCH}_2)_b\text{CH}_2\text{CONH-Dm}$, $-(\text{CH}_2)_a\text{NHCO-Dm}$, $-\text{CH}_2-(\text{CH}_2\text{OCH}_2)_b\text{CH}_2\text{NHCO-Dm}$, $-(\text{CH}_2)_a\text{N R}^{12}\text{R}^{13}$, and $-\text{CH}_2(\text{CH}_2\text{OCH}_2)_b\text{CH}_2\text{N R}^{12}\text{R}^{13}$; K₁ and K₂ are independently selected from the group consisting of C₁-C₁₀ alkyl, C₅-C₂₀ aryl, C₁-C₂₀ alkoxy, C₁-C₂₀ aminoalkyl, $-(\text{CH}_2)_a\text{CO}-$, $-(\text{CH}_2)_a\text{CONH}-$, $-\text{CH}_2-(\text{CH}_2\text{OCH}_2)_b\text{CH}_2\text{CONH}-$, $-(\text{CH}_2)_a\text{NHCO}-$, $-\text{CH}_2-(\text{CH}_2\text{OCH}_2)_b\text{CH}_2\text{NHCO}-$, $-(\text{CH}_2)_a\text{N R}^{12}\text{R}^{13}$, and $-\text{CH}_2(\text{CH}_2\text{OCH}_2)_b\text{CH}_2\text{N R}^{12}\text{R}^{13}$.

CH₂-CONH-, -(CH₂)_a-NHCO-, -CH₂(CH₂OCH₂)_b-CH₂-NHCO-, and -CH₂-(CH₂OCH₂)_b-CO-; X₁ and X₂ are single bonds, or are independently selected from the group consisting of nitrogen, -CR¹⁴-, -CR¹⁴R¹⁵, and -NR¹⁶R¹⁷; A₁ is a single or a double bond; B₁, C₁, and D₁ are independently selected from the group consisting of -O-, -S, -CR¹¹, alkyl, NR¹², and -C=O; A₁, B₁, C₁, and D₁ may together form a 6- to 12-membered carbocyclic ring or a 6- to 12-membered heterocyclic ring optionally containing one or more oxygen, nitrogen, or sulfur atom; a₁ and b₁ independently vary from 0 to 3; Bm is selected from the group consisting of bioactive peptide containing 2 to 30 amino acid units, protein, antibody fragment, mono- and oligosaccharide; bioactive peptide, protein, and oligosaccharide; Dm is selected from the group consisting of photosensitizer, photoactive molecule, and phototherapy agent; a and c independently vary from 1 to 20; b and d independently vary from 1 to 100.

3. The compound of claim 2 wherein each W¹ and W² is -C(CH₃)₂; each K₁ and K₂ is -(CH₂)₄CO-; each X₁ and X₂ is a single bond; A₁ is a single bond; each B₁, C₁, and D₁ is -CH₂-; R¹ is Cl; each R² to R⁹, Y₁ and Z₁ is H; Y₂ is a tumor-specific agent; and Z₂ is a phototherapy agent.

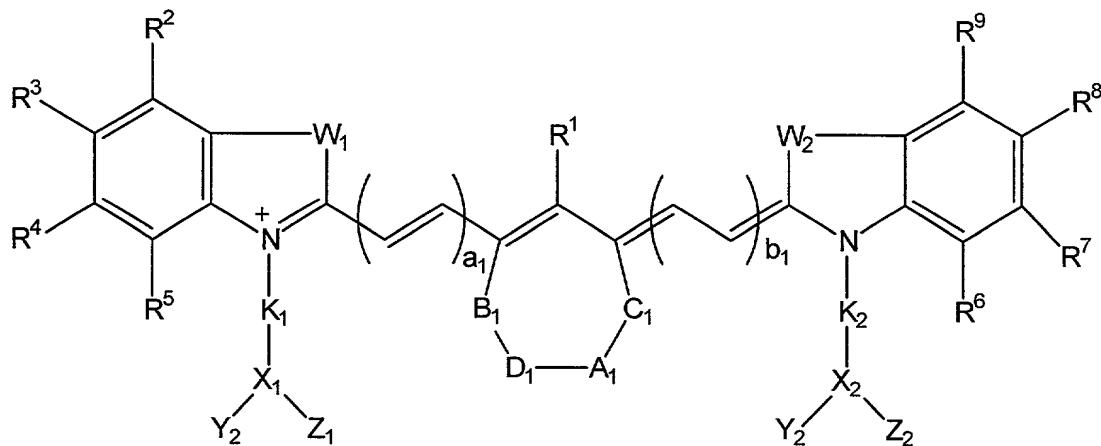
4. The compound according to claim 3 wherein the said tumor-specific agent is a bioactive peptide containing 2 to 30 amino acid units.

5. The compound according to claim 4 wherein the said tumor-specific agent is octreotide and bombesin (7-14).

6. The compound according to claim 3 wherein the said phototherapy agent is a photosensitizer.

7. The compound according to claim 6 wherein the said photosensitizer is 2-[1-hexyloxyethyl]-2-devinylpyropheophorbide-a.

8. A method for performing a diagnostic and therapeutic procedure comprising administering to an individual an effective amount of the composition of cyanine dye bioconjugate of Formula 3



- Dm, -(NHCO-Dm, -(CH₂)_a-CONH-Dm, -CH₂-(CH₂OCH₂)_b-CH₂-CONH-Dm, -(CH₂)_a-NHCO-Dm, -CH₂-(CH₂OCH₂)_b-CH₂-NHCO-Dm, -(CH₂)_a-N(R¹²)-(CH₂)_b-CONH-Dm, -(CH₂)_a-N(R¹²)-(CH₂)_c-NHCO-Dm, -(CH₂)_a-N(R¹²)-CH₂-(CH₂OCH₂)_b-CH₂-CONH-Dm, -(CH₂)_a-N(R¹²)-CH₂-(CH₂OCH₂)_b-CH₂-NHCO-Dm, -CH₂-
- 5 (CH₂OCH₂)_b-CH₂-N(R¹²)-(CH₂)_a-CONH-Dm, -CH₂-(CH₂OCH₂)_b-CH₂-N(R¹²)-(CH₂)_a-NHCO-Dm, -CH₂-(CH₂OCH₂)_b-CH₂-N(R¹²)-CH₂-(CH₂OCH₂)_d-CONH-Dm, -CH₂-(CH₂OCH₂)_b-CH₂-N(R¹²)-CH₂-(CH₂OCH₂)_d-NHCO-Dm, -(CH₂)_a-N R¹²R¹³, and -CH₂(CH₂OCH₂)_b-CH₂N R¹²R¹³; K₁ and K₂ are independently selected from the group consisting of C₁-C₃₀ alkyl, C₅-C₃₀ aryl, C₁-C₃₀ alkoxy, C₁-C₃₀
- 10 polyalkoxyalkyl, C₁-C₃₀ polyhydroxyalkyl, C₅-C₃₀ polyhydroxyaryl, C₁-C₃₀ aminoalkyl, saccharide, peptide, -CH₂(CH₂OCH₂)_b-CH₂-, -(CH₂)_a-CO-, -(CH₂)_a-CONH-, -CH₂-(CH₂OCH₂)_b-CH₂-CONH-, -(CH₂)_a-NHCO-, -CH₂-(CH₂OCH₂)_b-CH₂-NHCO-, -(CH₂)_a-O-, and -CH₂-(CH₂OCH₂)_b-CO-; X₁ and X₂ are single bonds, or are independently selected from the group consisting of nitrogen, saccharide,
- 15 -CR¹⁴-, -CR¹⁴R¹⁵, -NR¹⁶R¹⁷; C₅ – C₃₀ aryl; Q is a single bond or is selected from the group consisting of -O-, -S-, -Se-, and -NR¹⁸; A₁ is a single or a double bond; B₁, C₁, and D₁ are independently selected from the group consisting of -O-, -S-, -Se-, -P-, -CR¹⁰R¹¹, -CR¹¹, alkyl, -NR¹², and -C=O; A₁, B₁, C₁, and D₁ may together form a 6- to 12-membered carbocyclic ring or a 6- to 12-
- 20 membered heterocyclic ring optionally containing one or more oxygen, nitrogen, or sulfur atom; a₁ and b₁ independently vary from 0 to 5; R¹ to R¹³, and R¹⁸ are independently selected from the group consisting of hydrogen, C₁-C₁₀ alkyl, C₅-C₂₀ aryl, C₁-C₁₀ alkoxy, C₁-C₁₀ polyalkoxyalkyl, C₁-C₂₀ polyhydroxyalkyl, C₅-C₂₀ polyhydroxyaryl, C₁-C₁₀ aminoalkyl, cyano, nitro, halogen, saccharide, peptide, -CH₂(CH₂OCH₂)_b-CH₂-OH, -(CH₂)_a-CO₂H, -(CH₂)_a-CONH-Bm, -CH₂-(CH₂OCH₂)_b-

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CH₂-CONH-Bm, -(CH₂)_a-NHCO-Bm, -CH₂-(CH₂OCH₂)_b-CH₂-NHCO-Bm, -(CH₂)_a-OH and -CH₂-(CH₂OCH₂)_b-CO₂H; R¹⁴ to R¹⁷ are independently selected from the group consisting of hydrogen, C₁-C₁₀ alkyl, C₅-C₂₀ aryl, C₁-C₁₀ alkoxy, C₁-C₁₀ polyalkoxyalkyl, C₁-C₂₀ polyhydroxyalkyl, C₅-C₂₀ polyhydroxyaryl, C₁-C₁₀ aminoalkyl, saccharide, peptide, -CH₂(CH₂OCH₂)_b-CH₂-, -(CH₂)_a-CO-, -(CH₂)_a-CONH-, -CH₂-(CH₂OCH₂)_b-CH₂-CONH-, -(CH₂)_a-NHCO-, -CH₂-(CH₂OCH₂)_b-CH₂-NHCO-, -(CH₂)_a-O-, and -CH₂-(CH₂OCH₂)_b-CO-; Bm and Dm are independently selected from the group consisting of bioactive peptide, protein, cell, antibody, antibody fragment, saccharide, glycopeptide, peptidomimetic, drug, drug mimic, hormone, metal chelating agent, radioactive or nonradioactive metal complex, echogenic agent, photoactive molecule, and phototherapy agent; a and c independently vary from 1 to 20; b and d independently vary from 1 to 100; and thereafter performing said procedure.

9. The method for performing the diagnostic and therapeutic procedure of claim 8 which comprises administering to an individual an effective amount of the composition of cyanine dye bioconjugate wherein W₁ and W₂ are independently selected from the group consisting of -C(CH₃)₂,

5 -C((CH₂)_aOH)CH₃, -C((CH₂)_aOH)₂, -C((CH₂)_aCO₂H)CH₃, -C((CH₂)_aCO₂H)₂, -C((CH₂)_aNH₂)CH₃, -C((CH₂)_aNH₂)₂, -C((CH₂)_aNR¹²R¹³)₂, -NR¹², and -S-; Y₁ and Y₂ are selected from the group consisting of hydrogen, tumor-specific agent, -CONH-Bm, -NHCO-Bm, -(CH₂)_a-CONH-Bm, -CH₂-(CH₂OCH₂)_b-CH₂-CONH-Bm, -(CH₂)_a-NHCO-Bm, -CH₂-(CH₂OCH₂)_b-CH₂-NHCO-Bm, -(CH₂)_a-NR¹²R¹³, and

10 -CH₂(CH₂OCH₂)_b-CH₂NR¹²R¹³; Z₁ and Z₂ are independently selected from the group consisting of hydrogen, phototherapy agent, -CONH-Dm, -NHCO-Dm,

- (CH₂)_a-CONH-Dm, -CH₂-(CH₂OCH₂)_b-CH₂-CONH-Dm, -(CH₂)_a-NHCO-Dm, -CH₂-(CH₂OCH₂)_b-CH₂-NHCO-Dm, -(CH₂)_a-N R¹²R¹³, and -CH₂(CH₂OCH₂)_b-CH₂N R¹²R¹³; K₁ and K₂ are independently selected from the group consisting of C₁-C₁₀ alkyl, C₅-C₂₀ aryl, C₁-C₂₀ alkoxy, C₁-C₂₀ aminoalkyl, -(CH₂)_a-CO-, -(CH₂)_a-CONH, -CH₂-(CH₂OCH₂)_b-CH₂-CONH-, -(CH₂)_a-NHCO-, -CH₂-(CH₂OCH₂)_b-CH₂-NHCO-, and -CH₂-(CH₂OCH₂)_b-CO-; X₁ and X₂ are single bonds, or are independently selected from the group consisting of nitrogen, -CR¹⁴-, -CR¹⁴R¹⁵, and -NR¹⁶R¹⁷; A₁ is a single or a double bond; B₁, C₁, and D₁ are independently selected from the group consisting of -O-, -S, -CR¹¹, alkyl, -NR¹², and -C=O; A₁, B₁, C₁, and D₁ may together form a 6- to 12-membered carbocyclic ring or a 6- to 12-membered heterocyclic ring optionally containing one or more oxygen, nitrogen, or sulfur atom; a₁ and b₁ independently vary from 0 to 3; Bm is selected from the group consisting of bioactive peptide containing 2 to 30 amino acid units, protein, antibody fragment, mono- and oligosaccharide; bioactive peptide, protein, and oligosaccharide; Dm is selected from the group consisting of photosensitizer, photoactive molecule, and phototherapy agent; a and c independently vary from 1 to 20; b and d independently vary from 1 to 100.

10. The method for performing the diagnostic and therapeutic procedure of claim 9 comprising administering to an individual an effective amount of the composition of cyanine dye bioconjugate wherein each W¹ and W² is -C(CH₃)₂; each K₁ and K₂ is -(CH₂)₄CO-; each X₁ and X₂ is a single bond; A₁ is a single bond; each B₁, C₁, and D₁ is -CH₂-; R¹ is Cl; each R² to R⁹, Y₁ and Z₁ is H; Y₂ is a tumor-specific agent; and Z₂ is a phototherapy agent.

11. The method for performing the diagnostic and therapeutic procedure of claim 10 comprising administering to an individual an effective amount of the composition of cyanine dye bioconjugate wherein the said tumor-specific agent is a bioactive peptide containing 2 to 30 amino acid units.
12. The method for performing the diagnostic and therapeutic procedure of claim 11 comprising administering to an individual an effective amount of the composition of cyanine dye bioconjugate wherein the said tumor-specific agent is octreotate and bombesin (7-14).
13. The method for performing the diagnostic and therapeutic procedure of claim 10 comprising administering to an individual an effective amount of the composition of cyanine dye bioconjugate wherein the said phototherapy agent is a photosensitizer.
14. The method for performing the diagnostic and therapeutic procedure of claim 13 comprising administering to an individual an effective amount of the composition of cyanine dye bioconjugate wherein the said photosensitizer is 2-[1-hexyloxyethyl]-2-devinylpyropheophorbide-a.
15. The method of claim 8 wherein said procedure utilizes light of wavelength in the region of 300-1300 nm.

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16. The method of claim 8 wherein the diagnostic procedure is optical tomography.
17. The method of claim 8 wherein said diagnostic procedure is fluorescence endoscopy.
18. The method of claim 8 wherein said procedure further comprises a step of imaging and therapy wherein said imaging and therapy is selected from the group consisting of absorption, light scattering, photoacoustic and sonofluorescence technique.
19. The method of claim 8 wherein said procedure is for diagnosing and treating atherosclerotic plaques and blood clots.
20. The method of claim 8 wherein said procedure comprises administering localized therapy.
21. The method of claim 8 wherein said therapeutic procedure comprises photodynamic therapy.
22. The method of claim 8 wherein said therapeutic procedure comprises laser assisted guided surgery (LAGS) for the detection and treatment of micrometastases.

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